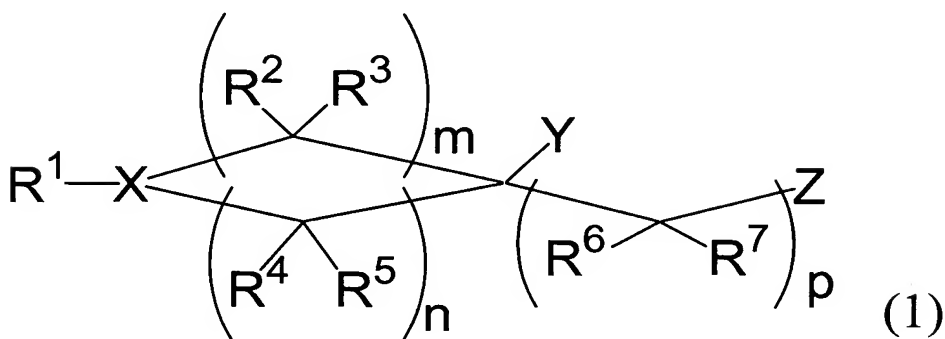


CLAIMS

1. A medicament for enhancing low density lipoprotein receptor expression comprising as an active ingredient a compound of the formula (1):



wherein

m, n, and p are independently an integer of 0 - 4,
provided $3 \leq m + n \leq 8$;

X is nitrogen atom or a group of the formula: C-R¹⁵;

R¹⁵ is hydrogen atom, a substituted or unsubstituted alkyl group, a substituted or unsubstituted aromatic group, or a group of the formula: -NR¹⁹R²⁰ wherein

R¹⁹ and R²⁰ are each independently hydrogen atom; a substituted or unsubstituted lower alkyl group; a substituted or unsubstituted cycloalkyl group; a saturated heterocyclic group comprising 3 - 8 carbon atoms as ring components which includes one -NR²¹- (R²¹ is hydrogen atom, a substituted or unsubstituted lower alkyl group, a substituted or unsubstituted aromatic group, a substituted or unsubstituted lower alkoxycarbonyl group, a substituted

or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group) or one oxygen atom and may optionally have one or more substituents on the carbon atoms of the saturated heterocyclic group; a substituted or
5 unsubstituted lower alkoxy carbonyl group; a substituted or unsubstituted aromatic group; a substituted or unsubstituted aralkyl group; or a substituted or unsubstituted heteroarylalkyl group; or alternatively

R^{19} and R^{20} may combine together with the nitrogen atom
10 bound with R^{19} and R^{20} to form a saturated cyclic amino group comprising 3 - 8 carbon atoms as ring components, which may further include one $-NR^{22}-$ (R^{22} is hydrogen atom, a substituted or unsubstituted lower alkyl group, a substituted or unsubstituted aromatic group, a substituted
15 or unsubstituted lower alkoxy carbonyl group, a substituted or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group) or one oxygen atom as a ring component and may optionally have one or more substituents on the carbon atoms of the saturated cyclic
20 amino group;

Y is a substituted or unsubstituted alkyl group; a substituted or unsubstituted alkenyl group; a substituted or unsubstituted alkynyl group; a substituted or unsubstituted cycloalkyl group; a substituted or
25 unsubstituted aromatic group; or a group of the formula: -

C(=O)R⁸ wherein R⁸ is a substituted or unsubstituted alkyl group, a substituted or unsubstituted alkenyl group, a substituted or unsubstituted alkynyl group, a substituted or unsubstituted cycloalkyl group, or a substituted or unsubstituted aromatic group;

R¹ is hydrogen atom; a substituted or unsubstituted alkyl group; a substituted or unsubstituted alkenyl group; a substituted or unsubstituted alkynyl group; a substituted or unsubstituted cycloalkyl group; a saturated heterocyclic group comprising 3 - 8 carbon atoms as ring components which includes one -NR²³- (R²³ is hydrogen atom, a substituted or unsubstituted lower alkyl group, a substituted or unsubstituted aromatic group, a substituted or unsubstituted lower alkoxycarbonyl group, a substituted or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group) or one oxygen atom and may optionally have one or more substituents on the carbon atoms of the saturated heterocyclic group; a substituted or unsubstituted aromatic group; or a group of the formula: -

C(=O)R¹⁴ wherein R¹⁴ is a substituted or unsubstituted alkyl group, a substituted or unsubstituted alkenyl group, a substituted or unsubstituted alkynyl group, a substituted or unsubstituted cycloalkyl group, or a substituted or unsubstituted aromatic group;

R², R³, R⁴, R⁵, R⁶, and R⁷ are the same or different and

are selected from the group consisted of hydrogen atom, hydroxyl group, a substituted or unsubstituted alkyl group, a substituted or unsubstituted alkoxy group, a substituted or unsubstituted alkoxycarbonyl group, a substituted or unsubstituted aralkyl group, a substituted or unsubstituted heteroarylalkyl group, a substituted or unsubstituted aralkyloxy group, and a substituted or unsubstituted heteroarylalkyloxy group; and when each of R^2 , R^3 , R^4 , R^5 , R^6 , and/or R^7 exists plurally, each thereof is independently selected from the aforementioned group; alternatively

one or plural combinations of R^2 and R^3 , R^4 and R^5 , and R^6 and R^7 may combine to form oxo group; alternatively

R^2 and R^4 may combine to form an alkylene group; alternatively

any two of the carbon atoms substituted with R^2 and R^3 , or R^4 and R^5 may combine to form double bond when the two carbons are located adjacently; and

Z is hydrogen atom, hydroxyl group, carboxy group, cyano group, phthalimide group, halogen atom, a substituted or unsubstituted alkyl group, a substituted or unsubstituted alkenyl group, a substituted or unsubstituted alkynyl group, a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted aromatic group, a substituted or unsubstituted lower alkoxycarbonyl group, a

substituted or unsubstituted carbamoyl group, a substituted or unsubstituted benzyloxycarbonyl group, a substituted or unsubstituted aralkyloxy group, a substituted or unsubstituted heteroarylalkyloxy group, a substituted or unsubstituted aryloxy group, a substituted or unsubstituted heteroaryloxy group, a substituted or unsubstituted lower alkoxy group, a substituted or unsubstituted lower alkanoyloxy group, a substituted or unsubstituted lower alkylthio group, a substituted or unsubstituted lower alkylsulfinyl group, a substituted or unsubstituted lower alkylsulfonyl group, a substituted or unsubstituted benzenesulfonyloxy group, a substituted or unsubstituted lower alkoxycarbonylamino group, or a group of the formula: $-NR^9R^{10}$ wherein

R^9 and R^{10} are each independently hydrogen atom, a substituted or unsubstituted lower alkyl group, a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted lower alkoxycarbonyl group, a substituted or unsubstituted aromatic group, a substituted or unsubstituted acyl group, a substituted or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group; or alternatively

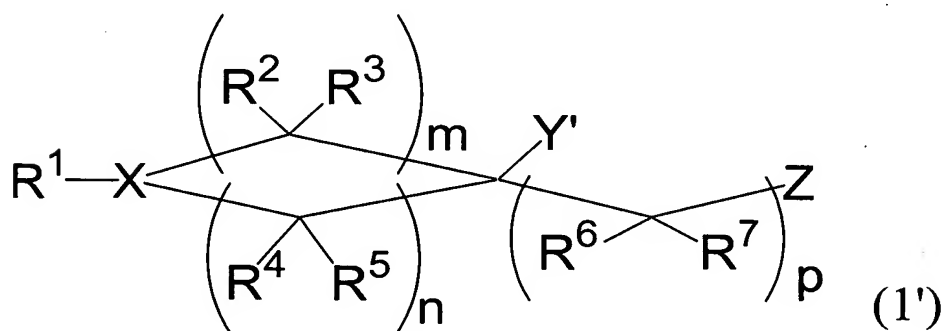
R^9 and R^{10} may combine together with the nitrogen atom bound with R^9 and R^{10} to form a saturated cyclic amino group comprising 3 - 8 carbon atoms as ring components, which may

further include one $-NR^{11}-$ (R^{11} is hydrogen atom, a substituted or unsubstituted lower alkyl group, a substituted or unsubstituted aromatic group, a substituted or unsubstituted lower alkoxy carbonyl group, a substituted or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group) or one oxygen atom as a ring component and may optionally have one or more substituents on the carbon atoms of the saturated cyclic amino group,

or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

2. The medicament according to claim 1 for treating hyperlipidemia or arteriosclerosis.

3. A compound of the formula (1'):



wherein

m, n, and p are independently an integer of 0 - 4,
provided $3 \leq m + n \leq 8$;

X is nitrogen atom or a group of the formula: C-R¹⁵;

R¹⁵ is hydrogen atom, a substituted or unsubstituted alkyl group, a substituted or unsubstituted aromatic group, or a group of the formula: -NR¹⁹R²⁰ wherein

5 R¹⁹ and R²⁰ are each independently hydrogen atom; a substituted or unsubstituted lower alkyl group; a substituted or unsubstituted cycloalkyl group; a saturated heterocyclic group comprising 3 - 8 carbon atoms as ring components which includes one -NR²¹- (R²¹ is hydrogen atom,
10 a substituted or unsubstituted lower alkyl group, a substituted or unsubstituted aromatic group, a substituted or unsubstituted lower alkoxy carbonyl group, a substituted or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group) or one oxygen atom and
15 may optionally have one or more substituents on the carbon atoms of the saturated heterocyclic group; a substituted or unsubstituted lower alkoxy carbonyl group; a substituted or unsubstituted aromatic group, a substituted or unsubstituted aralkyl group; or a substituted or
20 unsubstituted heteroarylalkyl group; or alternatively

R¹⁹ and R²⁰ may combine together with the nitrogen atom bound with R¹⁹ and R²⁰ to form a saturated cyclic amino group comprising 3 - 8 carbon atoms as ring components, which may further include one -NR²²- (R²² is hydrogen atom,
25 a substituted or unsubstituted lower alkyl group, a

substituted or unsubstituted aromatic group, a substituted or unsubstituted lower alkoxy carbonyl group, a substituted or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group) or one oxygen atom as
5 a ring component and may optionally have one or more substituents on the carbon atoms of the saturated cyclic amino group;

Y' is a substituted or unsubstituted cycloalkyl group; a substituted or unsubstituted aromatic group; or a group
10 of the formula: $-C(=O)R^{8a}$ wherein R^{8a} is a substituted or unsubstituted cycloalkyl group, or a substituted or unsubstituted aromatic group;

R^1 is hydrogen atom; a substituted or unsubstituted alkyl group; a substituted or unsubstituted alkenyl group;
15 a substituted or unsubstituted alkynyl group, a substituted or unsubstituted cycloalkyl group; a saturated heterocyclic group comprising 3 - 8 carbon atoms as ring components which includes one $-NR^{23}-$ (R^{23} is hydrogen atom, a substituted or unsubstituted lower alkyl group, a
20 substituted or unsubstituted aromatic group, a substituted or unsubstituted lower alkoxy carbonyl group, a substituted or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group) or one oxygen atom and may optionally have one or more substituents on the carbon
25 atoms of the saturated heterocyclic group; a substituted or

unsubstituted aromatic group; or a group of the formula: -
C(=O)R¹⁴ wherein R¹⁴ is a substituted or unsubstituted alkyl
group, a substituted or unsubstituted alkenyl group, a
substituted or unsubstituted alkynyl group, a substituted
5 or unsubstituted cycloalkyl group, or a substituted or
unsubstituted aromatic group;

R², R³, R⁴, R⁵, R⁶, and R⁷ are the same or different and
are selected from the group consisted of hydrogen atom,
hydroxyl group, a substituted or unsubstituted alkyl group,
10 a substituted or unsubstituted alkoxy group, a substituted
or unsubstituted alkoxycarbonyl group, a substituted or
unsubstituted aralkyl group, a substituted or unsubstituted
heteroarylalkyl group, a substituted or unsubstituted
aralkyloxy group, or a substituted or unsubstituted
15 heteroarylalkyloxy group; and when each of R², R³, R⁴, R⁵,
R⁶, and/or R⁷ exists plurally, each thereof is
independently selected from the aforementioned group;
alternatively

one or plural combinations of R² and R³, R⁴ and R⁵, and
20 R⁶ and R⁷ may combine to form oxo group; alternatively

R² and R⁴ may combine to form an alkylene group;
alternatively

any two of the carbon atoms substituted with R² and
R³, or R⁴ and R⁵ may combine to form double bond when the
25 two carbons are located adjacently; and

Z is hydrogen atom, hydroxyl group, carboxy group, cyano group, phthalimide group, halogen atom, a substituted or unsubstituted alkyl group, a substituted or unsubstituted alkenyl group, a substituted or unsubstituted alkynyl group, a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted aromatic group, a substituted or unsubstituted lower alkoxy carbonyl group, a substituted or unsubstituted carbamoyl group, a substituted or unsubstituted benzyloxy carbonyl group, a substituted or unsubstituted aralkyloxy group, a substituted or unsubstituted heteroarylalkyloxy group, a substituted or unsubstituted aryloxy group, a substituted or unsubstituted heteroaryloxy group, a substituted or unsubstituted lower alkoxy group, a substituted or unsubstituted lower alkanoyloxy group, a substituted or unsubstituted lower alkylthio group, a substituted or unsubstituted lower alkylsulfinyl group, a substituted or unsubstituted lower alkylsulfonyl group, a substituted or unsubstituted benzenesulfonyloxy group, a substituted or unsubstituted lower alkoxy carbonylamino group, or a group of the formula:
-NR⁹R¹⁰ wherein

R⁹ and R¹⁰ are each independently hydrogen atom, a substituted or unsubstituted lower alkyl group, a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted lower alkoxy carbonyl group, a

substituted or unsubstituted aromatic group, a substituted or unsubstituted acyl group, a substituted or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group; or alternatively

5 R⁹ and R¹⁰ may combine together with the nitrogen atom bound with R⁹ and R¹⁰ to form a saturated cyclic amino group comprising 3 - 8 carbon atoms as ring components, which may further include one -NR¹¹- (R¹¹ is hydrogen atom, a substituted or unsubstituted lower alkyl group, a
10 substituted or unsubstituted aromatic group, a substituted or unsubstituted lower alkoxycarbonyl group, a substituted or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group) or one oxygen atom as a ring component and may optionally have one or more
15 substituents on the carbon atoms of the saturated cyclic amino group; and

provided that Z is not cyano group when both Y' and R¹ are unsubstituted phenyl group,
or a prodrug thereof, or a pharmaceutically acceptable salt
20 thereof.

4. The compound according to claim 3 wherein

X is nitrogen atom, and R² and R⁴ combine to form an alkylene; or alternatively

25 X is a group of the formula: C-R¹⁵,

or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

5 5. The compound according to any one of claims 3 and 4 wherein Y' is a substituted or unsubstituted aromatic group,
or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

10 6. The compound according to claim 5 wherein R¹ is a substituted or unsubstituted aromatic group,
or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

15 7. The compound according to claim 6 wherein Y' is a substituted or unsubstituted phenyl group, or a substituted or unsubstituted pyridyl group,
or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

20

8. The compound according to claim 7 wherein
R¹ is phenyl group, pyridyl group, pyrimidinyl group, benzoxazolyl group, or benzothiazolyl group, which may be optionally substituted with one or more substituents,
25 or a prodrug thereof, or a pharmaceutically acceptable salt

thereof.

9. The compound according to claim 8 wherein

5 R^1 is a substituted phenyl group or a substituted pyridyl group, wherein the substituents on the phenyl group or pyridyl group are the same or different and are selected from one or more of hydroxyl group or a lower alkoxy group, or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

10

10. The compound according to any one of claims 3 - 5 wherein

X is the formula: $C-R^{15}$, and

15 R^{15} is a group of the formula: $-NR^{19}R^{20}$, or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

11. The compound according to claim 10 wherein in the formula: $-NR^{19}R^{20}$

20 R^{19} is hydrogen atom, and

R^{20} is a substituted or unsubstituted aromatic group, a substituted or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group, or alternatively

25 R^{19} and R^{20} may combine together with the nitrogen atom

bound with R^{19} and R^{20} to form a saturated cyclic amino group comprising 3 - 8 carbon atoms as ring components, which may further include one $-NR^{22}-$ (R^{22} is hydrogen atom, a substituted or unsubstituted lower alkyl group, a substituted or unsubstituted aromatic group, a substituted or unsubstituted lower alkoxy carbonyl group, a substituted or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group) as a ring component and may optionally have one or more substituents on the carbon atoms of the saturated cyclic amino group, or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

12. The compound according to claim 10 wherein

R^{15} is a group of the formula: $-NR^{19}R^{20}$,

R^{19} is hydrogen atom,

R^{20} is a substituted or unsubstituted aromatic group, a substituted or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group, and

the configuration between R^{15} and Y' is trans, or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

13. The compound according to claim 12 wherein R^{20} is a substituted or unsubstituted aralkyl group, or a

substituted or unsubstituted heteroarylalkyl group,
or a prodrug thereof, or a pharmaceutically acceptable salt
thereof.

- 5 14. The compound according to claim 12 wherein R^{20} is a
substituted benzyl group wherein the substituent is
sulfamoyl group,
or a prodrug thereof, or a pharmaceutically acceptable salt
thereof.

10

- 15 15. The compound according to claim 10 wherein
 R^{15} is a group of the formula: $-NR^{19}R^{20}$;
 R^{19} is hydrogen atom;
 R^{20} is a saturated heterocyclic group comprising 3 - 8
15 carbon atoms as ring components which includes one $-NR^{21}-$
(R^{21} is hydrogen atom, a substituted or unsubstituted lower
alkyl group, a substituted or unsubstituted aromatic group,
a substituted or unsubstituted lower alkoxycarbonyl group,
a substituted or unsubstituted aralkyl group, or a
20 substituted or unsubstituted heteroarylalkyl group) or one
oxygen atom and may optionally have one or more
substituents on the carbon atoms of the saturated
heterocyclic group; and
the configuration between R^{15} and Y' is trans,
25 or a prodrug thereof, or a pharmaceutically acceptable salt

thereof.

16. The compound according to claim 10 wherein

5 R^{15} is a group of the formula: $-NR^{19}R^{20}$ wherein R^{19} and R^{20} combine together with the nitrogen atom bound with R^{19} and R^{20} to form a saturated cyclic amino group comprising 3 - 8 carbon atoms as ring components, which may further include one $-NR^{22}-$ (R^{22} is hydrogen atom, a substituted or unsubstituted lower alkyl group, a substituted or
10 unsubstituted aromatic group, a substituted or unsubstituted lower alkoxy carbonyl group, a substituted or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group) as a ring component and may optionally have one or more substituents on the
15 carbon atoms of the saturated cyclic amino group; and

the configuration between R^{15} and Y' is cis,
or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

20 17. The compound according to any one of claims 9 - 16 wherein

every R^2 , R^3 , R^4 , R^5 , R^6 , and R^7 is hydrogen atom, or alternatively

one or plural combinations of R^2 and R^3 , R^4 and R^5 , and
25 R^6 and R^7 combine to form oxo group; and the others are all

hydrogen atom,

or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

5 18. The compound according to claim 17 wherein
every R^2 , R^3 , R^4 , and R^5 is hydrogen atom, and
 R^6 and R^7 combine to form oxo group, or both R^6 and R^7
are hydrogen atom,
or a prodrug thereof, or a pharmaceutically acceptable salt
10 thereof.

19. The compound according claim 18 wherein Z is hydroxyl
group, cyano group, a lower alkoxy group or a group of the
formula: $-NR^9R^{10}$,
15 or a prodrug thereof, or a pharmaceutically acceptable salt
thereof.

20. The compound according to claim 19 wherein
 Y' is a substituted phenyl group wherein the
20 substituents on the phenyl group are the same or different
and are selected from one or more of hydroxyl group or a
lower alkoxy group,
or a prodrug thereof, or a pharmaceutically acceptable salt
thereof.

21. The compound according to any one of claims 3 - 20 wherein Z is cyano group, or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

5 22. The compound according to any one of claims 3 - 21 wherein

m is 2 or 3,

n is 2, and

every R^2 , R^3 , R^4 , R^5 , R^6 , and R^7 is hydrogen atom,

10 or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

23. The compound according to any one of claims 3 - 22 wherein p is 0, or a prodrug thereof, or a pharmaceutically
15 acceptable salt thereof.

24. A pharmaceutical composition comprising as an active ingredient the compounds set forth in any one of claims 3 - 23, or a prodrug thereof, or a pharmaceutically acceptable
20 salt thereof.

25. A medicament for enhancing low density lipoprotein receptor expression comprising as an active ingredient the compounds set forth in any one of claims 3 - 23, or a
25 prodrug thereof, or a pharmaceutically acceptable salt

thereof.

26. A hypolipidemic drug or antiarteriosclerotic drug comprising as an active ingredient the compound set forth
5 in any one of claims 3 - 23, or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

27. A method for treating hyperlipidemia or arteriosclerosis comprising administering to a patient in
10 need of the treatment a therapeutically effective dose of the compound set forth in any one of claims 3 - 23, or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

15 28. Use of the compound set forth in any one of claims 3 - 23, or a prodrug thereof, or a pharmaceutically acceptable salt thereof, for the manufacture of a hypolipidemic drug or antiarteriosclerotic drug.